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NEWS 6 OCT 22 WPIDS, WPINDEX, and WPIX enhanced with Canadian PCT
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SINCE FILE	TOTAL
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DICTIONARY FILE UPDATES: 1 JAN 2009 HIGHEST RN 1092443-48-5

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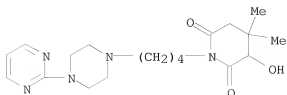
=> s gepirone
L1 3 GEPIRONE

=> d

L1 ANSWER 1 OF 3 REGISTRY COPYRIGHT 2009 ACS on STN
RN 345894-78-2 REGISTRY
ED Entered STN: 13 Jul 2001
CN 2,6-Piperidinedione, 3-hydroxy-4,4-dimethyl-1-[4-[4-(2-pyrimidinyl)-1-
piperazinyl]butyl]- (CA INDEX NAME)

OTHER NAMES:

CN 3-Hydroxy-4,4-dimethyl-1-[4-[4-(2-pyrimidinyl)-1-piperazinyl]butyl]-2,6-
piperidinedione
CN 3-Hydroxygepirone
CN 3-OH-gepirone
DR 220763-68-8
MF C19 H29 N5 O3
CI COM
SR CA
LC STN Files: BIOSIS, CA, CAPLUS, CASREACT, TOXCENTER, USPAT2, USPATFULL

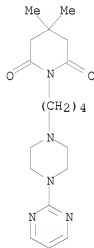


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

11 REFERENCES IN FILE CA (1907 TO DATE)
11 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> d 2-3

L1 ANSWER 2 OF 3 REGISTRY COPYRIGHT 2009 ACS on STN
RN 83928-76-1 REGISTRY
ED Entered STN: 16 Nov 1984
CN 2,6-Piperidinedione, 4,4-dimethyl-1-[4-[4-(2-pyrimidinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)
OTHER NAMES:
CN Gepirone
DR 104699-09-4
MF C19 H29 N5 O2
CI COM
LC STN Files: ADISINSIGHT, ADISNEWS, ANABSTR, BEILSTEIN*, BIOSIS, BIOTECHNO, CA, CAPLUS, CASREACT, CBNB, CHEMCATS, CHEMINFORMRX, CIN, DDFU, DRUGU, EMBASE, IMSDRUGNEWS, IMSPATENTS, IMSRESEARCH, IPA, MEDLINE, PHAR, PROMT, SYNTHLINE, TOXCENTER, USAN, USPAT2, USPATFULL
(*File contains numerically searchable property data)
Other Sources: WHO

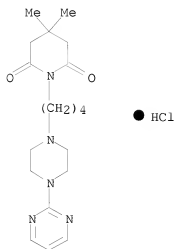


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

285 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
285 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L1 ANSWER 3 OF 3 REGISTRY COPYRIGHT 2009 ACS on STN
RN 83928-66-9 REGISTRY
ED Entered STN: 16 Nov 1984
CN 2,6-Piperidinedione, 4,4-dimethyl-1-[4-[4-(2-pyrimidinyl)-1-piperazinyl]butyl]-, hydrochloride (1:1) (CA INDEX NAME)
OTHER CA INDEX NAMES:

CN 2,6-Piperidinedione, 4,4-dimethyl-1-[4-[4-(2-pyrimidinyl)-1-piperazinyl]butyl]-, monohydrochloride (9CI)
 OTHER NAMES:
 CN BMY 13805
 CN BMY 13805-1
 CN Gepirone hydrochloride
 CN MJ 13805
 CN Org 33062
 DR 88895-49-2
 MF C19 H29 N5 O2 . Cl H
 CI COM
 LC STN Files: ADISINSIGHT, BEILSTEIN*, BIOSIS, BIOTECHNO, CA, CAPLUS, CASREACT, DDFU, DRUGU, EMBASE, IMPATENTS, IMSRESEARCH, IPA, PHAR, SYNTHLINE, TOXCENTER, USAN, USPAT2, USPATFULL
 (*File contains numerically searchable property data)
 CRN (83928-76-1)



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36 REFERENCES IN FILE CA (1907 TO DATE)
 36 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> fil capl		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	11.98	16.60

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SINCE FILE TOTAL
ENTRY SESSION
0.68 17.78

FULL ESTIMATED COST

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FILE 'CAPLUS' ENTERED AT 16:15:48 ON 02 JAN 2009
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=> s e1-2
L2 497 (83928-66-9/BI OR 83928-76-1/BI)

=> s sexual or impotence or orgasm
L3 197828 SEXUAL OR IMPOTENCE OR ORGASM

=> s l2 and l3
L4 21 L2 AND L3

=> dup rem l4
PROCESSING COMPLETED FOR L4
L5 18 DUP REM L4 (3 DUPLICATES REMOVED)

=> d ibib abs 15-18

L5 ANSWER 15 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:408516 CAPLUS
DOCUMENT NUMBER: 136:406871
TITLE: As-needed administration of tricyclic and other
non-SRI antidepressant drugs to treat premature
ejaculation
INVENTOR(S): Tam, Peter; Gesundheit, Neil; Wilson, Leland F.
PATENT ASSIGNEE(S): Vivus, Inc., USA
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002041883	A2	20020530	WO 2001-US44065	20011121
WO 2002041883	A3	20031218		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 6495154	B1	20021217	US 2000-721412	20001121
CA 2429516	A1	20020530	CA 2001-2429516	20011121

AU 2002028643 A 20020603 AU 2002-28643 20011121
 EP 1389115 A2 20040218 EP 2001-989759 20011121
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 JP 2004536024 T 20041202 JP 2002-544062 20011121
 AU 2002228643 B2 20060727 AU 2002-228643 20011121
 PRIORITY APPLN. INFO.: US 2000-721412 A 20001121
 WO 2001-US44065 W 20011121

AB A method is provided for treatment of premature ejaculation by administration of an antidepressant drug selected from tricyclic antidepressants, tetracyclic antidepressants, MAO inhibitors, azaspirone antidepressants, and atypical non-SRI antidepressants. In a preferred embodiment, administration is on as "as-needed" basis, i.e., the drug is administered immediately or at most several hours prior to sexual activity. Pharmaceutical formulations and packaged kits are also provided. An effervescent tablet contained clomipramine hydrochloride 300, sodium bicarbonate 985, and citric acid 1000 mg. Efficacy of the compns. were tested in volunteers.

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 16 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2002:833515 CAPLUS
 DOCUMENT NUMBER: 137:333176
 TITLE: As-needed administration of tricyclic and other non-SRI antidepressant drugs to treat premature ejaculation
 INVENTOR(S): Tam, Peter; Gesundheit, Neil; Wilson, Leland F.
 PATENT ASSIGNEE(S): Vivus, Inc., USA
 SOURCE: U.S. Pat. Appl. Publ., 15 pp., Cont.-in-part of U.S. Ser. No. 721,412.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20020161016	A1	20021031	US 2001-996407	20011121
US 6946141	B2	20050920		
US 6495154	B1	20021217	US 2000-721412	20001121
PRIORITY APPLN. INFO.:			US 2000-721412	A2 20001121

AB A method is provided for treatment of premature ejaculation by administration of an antidepressant drug selected from tricyclic antidepressants, tetracyclic antidepressants, MAO inhibitors, azaspirone antidepressants, and atypical non-SRI antidepressants. In a preferred embodiment, administration is on as "as-needed" basis, i.e., the drug is administered immediately or at most several hours prior to sexual activity. Pharmaceutical formulations and packaged kits are also provided.

L5 ANSWER 17 OF 18 MEDLINE on STN DUPLICATE 3
 ACCESSION NUMBER: 1999165645 MEDLINE
 DOCUMENT NUMBER: PubMed ID: 10064829
 TITLE: Modification of sexual behavior of Long-Evans male rats by drugs acting on the 5-HT1A receptor.
 AUTHOR: Rehman J; Kaynan A; Christ G; Valcic M; Maayani S; Melman A
 CORPORATE SOURCE: Department of Urology, Albert Einstein College of Medicine/Montefiore Medical Center, 210th Street, Bronx, New York, NY 10467, USA.

CONTRACT NUMBER: GM 34852 (United States NIGMS)
SOURCE: Brain research, (1999 Mar 13) Vol. 821, No. 2, pp. 414-25.
Journal code: 0045503. ISSN: 0006-8993.
PUB. COUNTRY: Netherlands
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
(RESEARCH SUPPORT, U.S. GOV'T, P.H.S.)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 199904
ENTRY DATE: Entered STN: 26 Apr 1999
Last Updated on STN: 18 Jan 2003
Entered Medline: 13 Apr 1999

AB Modulation of the sexual behavior of male rats by the anxiolytic buspirone (S-20499) and its analog gepirone were compared to the effects of 8-OH-DPAT (or DPAT, a selective 5-HT1A reference agonist), and BMY-7378 (a selective 5-HT1A partial agonist). Long-Evans rats were used; modulation of copulatory behavior and alteration of penile reflexes were examined. Modulation of copulatory behavior was assessed by three indices: frequency and length of intromission, and latency of ejaculation. DPAT, at doses of 1-8 mg/kg, reduced these three indices in a time dependent manner such that the effects peaked at 45 min and normalized at 90 min. The dose-effect relationship (assessed 45 min after DPAT injection) is bell-shaped with an ED50 approximately 1 mg/kg on the ascending limb of the curve. The effects of buspirone (2 mg/kg) and gepirone (2 mg/kg) on copulatory behavior were indistinguishable from control. BMY-7378 alone and in combination with these other 5-HT1A agonists reduced copulatory behavior, though not statistically significant. Penile reflexes, including number of erections, cups and flips, were inhibited by these agents: DPAT>buspirone>gepirone (inactive at 2 mg/kg). Furthermore, the latency period to erection was at least doubled by DPAT (2 mg/kg). Buspirone and gepirone, however, reduced the latency period to erection. BMY-7378 inhibited penile reflexes when administered alone and even more in combination with DPAT or buspirone. Two butyrophenone analogs, spiperone (a 5-HT1A and dopamine D2 antagonist) and haloperidol (a D2 antagonist), were also tested for their interaction with DPAT. Both of these drugs (at 0.25 mg/kg, 60 min after administration) reduced all indices of penile reflexes and copulation. Furthermore, in combination with DPAT (2 mg/kg, 45 min), the effects were synergistic such that sexual activity came nearly to a standstill. These opposing effects on putatively brain originated copulatory behavior and spinal mediated penile reflexes indicate that the effects of buspirone and DPAT on sexual behavior in the male rat may be possible at different parts of the central nervous system. If a tentative shared target site by DPAT and buspirone is the 5-HT1A receptor, than the same 5-HT receptor sub-type at different locations (brain, raphe nuclei, spinal cord and autonomic ganglia) may modulate rat sexual behavior in opposing ways.
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L5 ANSWER 18 OF 18 MEDLINE on STN
ACCESSION NUMBER: 1987133955 MEDLINE
DOCUMENT NUMBER: PubMed ID: 2880737
TITLE: Effects of 5-HT1A selective anxiolytics on lordosis behavior: interactions with progesterone.
AUTHOR: Mendelson S D; Gorzalka B B
SOURCE: European journal of pharmacology, (1986 Dec 16) Vol. 132, No. 2-3, pp. 323-6.
Journal code: 1254354. ISSN: 0014-2999.
PUB. COUNTRY: Netherlands
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
(RESEARCH SUPPORT, NON-U.S. GOV'T)

LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 198704
ENTRY DATE: Entered STN: 3 Mar 1990
Last Updated on STN: 6 Feb 1995
Entered Medline: 7 Apr 1987

AB Ipsapirone and gepirone, but not buspirone, facilitated lordosis in estrogen-treated rats, whereas all three drugs inhibited this behavior in rats treated with estrogen and progesterone. When administered at higher doses, ipsapirone, gepirone and buspirone inhibited lordosis in rats treated with either estrogen or estrogen and progesterone. These data are consistent with the proposal that 5-HT_{1A} receptors mediate lordosis-inhibiting effects of 5-HT, and further suggest that some 5-HT_{1A} agonists may facilitate lordosis by activity at autoreceptors. Finally, these data show that progesterone may modulate activity at 5-HT_{1A} receptors.

=> d ibib abs 13-14

L5 ANSWER 13 OF 18 MEDLINE on STN DUPLICATE 1
ACCESSION NUMBER: 2004419402 MEDLINE
DOCUMENT NUMBER: PubMed ID: 15323591
TITLE: Gepirone extended-release treatment of anxious depression: evidence from a retrospective subgroup analysis in patients with major depressive disorder.
AUTHOR: Alpert Jonathan E; Franznick Dana A; Hollander Steven B; Fava Maurizio
CORPORATE SOURCE: Depression Clinical and Research Program, Massachusetts General Hospital, Boston 02114, USA.. jalert@partners.org
SOURCE: The Journal of clinical psychiatry, (2004 Aug) Vol. 65, No. 8, pp. 1069-75.
Journal code: 7801243. ISSN: 0160-6689.
PUB. COUNTRY: United States
DOCUMENT TYPE: (CLINICAL TRIAL)
Journal; Article; (JOURNAL ARTICLE)
(RANDOMIZED CONTROLLED TRIAL)
(RESEARCH SUPPORT, NON-U.S. GOV'T)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 200409
ENTRY DATE: Entered STN: 25 Aug 2004
Last Updated on STN: 22 Sep 2004
Entered Medline: 21 Sep 2004
AB OBJECTIVE: To evaluate the efficacy and tolerability of gepirone extended-release (ER) tablets in patients with major depressive disorder (MDD) and high ratings of anxiety (anxious depression). METHOD: This subgroup analysis was derived from an 8-week, double-blind, placebo-controlled study of gepirone ER in patients with MDD. Male and female patients 18 to 69 years of age who met DSM-IV criteria for MDD and had high ratings of anxiety (Hamilton Rating Scale for Depression [HAM-D-17] total score > or = 20 and HAM-D-17 factor I [anxiety/somatization] score > 6) were included in this subgroup analysis. Eligible patients with anxious depression were randomly assigned to receive either placebo or gepirone ER, 20 mg to 80 mg daily. Patient assessments were performed at weeks 1, 2, 3, 4, 6, and 8. Treatment efficacy was evaluated by mean HAM-D-17 total scores and mean changes from baseline in (1) HAM-D-17 total scores, (2) HAM-D-17 factor I (anxiety/somatization) scores, and (3) HAM-D-17 item 12 (anxiety, psychic) scores. All statistical tests were 2-sided and considered statistically significant if the p value was <.05. Between-group comparisons were

analyzed using least-squares analysis of variance on the change from baseline at each visit with the last observation carried forward (LOCF). The Cochran-Mantel-Haenszel test adjusting for center was also performed on the percentage of patients in each treatment group at each visit (LOCF) who met the response criterion on the HAM-D-17 ($>$ or $=$ 50% decrease from baseline) or remission criterion (HAM-D-17 total score $<$ or $=$ 7). RESULTS: Gepirone ER-treated patients (N = 58) experienced a statistically significant ($p < .05$) reduction in mean HAM-D-17 total score at week 3, 6, and 8 compared with placebo-treated patients (N = 75). A statistically significant effect ($p < .05$) in favor of gepirone ER was observed in mean change from baseline in HAM-D-17 total scores and for HAM-D factor I (anxiety/somatization) scores from week 2 onward. A statistically significant ($p < .01$) effect in favor of gepirone ER was observed in HAM-D-17 item 12 (anxiety, psychic) scores throughout the 8-week trial. There were significantly more patients in the gepirone ER group compared with the placebo group who were HAM-D-17 responders ($p < .05$) at endpoint and who met the criteria for HAM-D-17 remission at week 3 ($p < .05$) and weeks 6 and 8 ($p < .01$). Overall, gepirone ER was well tolerated, with rates of weight gain and sexual dysfunction comparable to placebo. Adverse events were generally mild to moderate. The most commonly reported adverse events were dizziness and nausea. CONCLUSIONS: Gepirone ER is an effective and well-tolerated treatment for patients with anxious depression.

L5 ANSWER 14 OF 18 MEDLINE on STN DUPLICATE 2
 ACCESSION NUMBER: 2003198336 MEDLINE
 DOCUMENT NUMBER: PubMed ID: 12716264
 TITLE: Gepirone extended-release: new evidence for efficacy in the treatment of major depressive disorder.
 AUTHOR: Feiger Alan D; Heiser Jon F; Shrivastava Ram K; Weiss Kenneth J; Smith Ward T; Sitsen J M A; Gibertini Michael
 CORPORATE SOURCE: Feiger Health Research Center, Wheat Ridge, CO 80033, USA.. al@feigerresearch.com
 SOURCE: The Journal of clinical psychiatry, (2003 Mar) Vol. 64, No. 3, pp. 243-9.
 Journal code: 7801243. ISSN: 0160-6689.
 PUB. COUNTRY: United States
 DOCUMENT TYPE: (CLINICAL TRIAL)
 (COMPARATIVE STUDY)
 Journal; Article; (JOURNAL ARTICLE)
 (RANDOMIZED CONTROLLED TRIAL)
 (RESEARCH SUPPORT, NON-U.S. GOV'T)
 LANGUAGE: English
 FILE SEGMENT: Priority Journals
 ENTRY MONTH: 200305
 ENTRY DATE: Entered STN: 30 Apr 2003
 Last Updated on STN: 13 May 2003
 Entered Medline: 9 May 2003
 AB OBJECTIVE: To assess the efficacy and tolerability of the 5-HT(1A) agonist gepirone in extended-release formulation (gepirone-ER) versus placebo in patients with major depressive disorder. METHOD: Patients aged 18 to 70 years were eligible if they satisfied DSM-IV criteria for moderate-to-severe major depressive disorder and had a baseline 17-item Hamilton Rating Scale for Depression (HAM-D-17) score $>$ or $=$ 20. After a 4- to 7-day placebo washout period, patients were randomly assigned to receive either placebo (N = 106) or gepirone-ER (20-80 mg/day) (N = 103) for 56 days. Assessments were done at weeks 1-4, 6, and 8. RESULTS: Mean change from baseline in HAM-D-17 score within the intent-to-treat group (gepirone, N = 101; placebo, N = 103) was significantly greater with gepirone-ER than placebo at weeks 3 ($p = .013$) and 8 ($p = .018$). Significantly ($p < .05$) more patients receiving gepirone-ER than placebo

were HAM-D-17 responders at weeks 3 (33.7% vs. 18.8%, respectively) and 4 (38.6% vs. 24.8%, respectively) and HAM-D-17 remitters at weeks 6 (24.8% vs. 13.9%, respectively) and 8 (28.7% vs. 14.9%, respectively). Mean change from baseline for HAM-D-25 total score was significantly ($p < p = .05$) greater with gepirone-ER at all assessments except week 6. The proportion of HAM-D-25 responders was significantly greater ($p < or = .05$) with gepirone-ER at weeks 3 and 8. Gepirone-ER was well tolerated: 9.8% of the gepirone-ER group and 2.8% of the placebo group discontinued due to adverse events. Common adverse events were considered mild and included dizziness, nausea, and insomnia. Gepirone-ER did not differ statistically compared with placebo in weight gain or sedation. Furthermore, preliminary evidence suggested that gepirone-ER may not be associated with sexual dysfunction. No serious adverse events occurred in gepirone-treated patients. CONCLUSION: Gepirone-ER is effective for the short-term treatment of major depressive disorder and is well tolerated.